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Claims

- 1. A process for preparing acid salts of Gemifloxacin represented by formula 1, which comprises the steps of
- a) adding a compound of formula 5 to naphthyridine carboxylic acid of formula 2 and 3-aminomethyl-4-methoxyiminopyrrolidine salt of formula 3 in water, an organic solvent or a mixed solvent thereof in the presence of an organic base to carry out a coupling reaction, and
 - b) adding an acid of formula HA to the resulting compound of formula 4 in water, an organic solvent or a mixed solvent thereof to carry out deprotection and salt formation reactions at the same time:

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wherein,

R represents Cl, F, Br, I, methanesulfonyl or paratoluenesulfonyl,

5 Me represents methyl,

HX represents hydrochloric acid, hydrobromic acid, hydroiodic acid, trifluoroacetic acid, methanesulfonic acid, paratolunesulfonic acid, or sulfuric acid,

R1 and R2 independently of each other represent hydrogen, a straight or branched, saturated or unsaturated $C_1 \sim C_6$ alkyl group, a saturated or unsaturated $C_3 \sim C_6$ cycloalkyl group, or an aromatic group which is unsubstituted or substituted by $C_1 \sim C_6$ alkyl, $C_1 \sim C_6$ alkoxy, hydroxy, cyano or halogen, or

R1 and R2 together with a carbonyl group to which they are bonded form a ring, and

HA is an organic acid or an inorganic acid.

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- 2. The process of claim 1, wherein step a), step b) or both steps a) and b) are carried out in a mixed solvent of an organic solvent with water.
- 3. The process of claim 1, wherein the compound of formula 5 is selected from the group consisting of benzaldehyde, 2-chlorobenzaldehyde, 2-hydroxybenzaldehyde, 4-methoxybenzaldehyde and 2-methylbenzaldehyde.
 - 4. The process of claim 2, wherein the organic solvent of step a) is acetonitrile, and that of step b) is isopropanol or tetrahydrofuran (THF).

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5. The process of claim 1, wherein the organic base is selected from the goup consisting of triethylamine, trimethylamine, diisopropylethylamine, 1,8-diazabicyclo

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[5.4.0]undec-7-ene, and 1,5-diazabicyclo[4.3.0]non-5-one.

- 6. The process of claim 1, wherein the compound of formula 5 is used in an amount of 1 to 3 times to that of the compound of formula 2.
- 7. The process of claim 1, wherein the organic base of step a) is used in an amount of 3 to 4 times to that of the compound of formula 2, and the reaction is carried out at a reaction temperature of 0 to 30°C.
- 10 8. The process of claim 7, wherein the organic base is triethylamine.
 - 9. The process of claim 1, wherein the acid of formula HA is used in an amount of 80mol% to 120mol% relative to the compound of formula 4, the temperature on adding the acid is in the range of 40~50°C, and the temperature after adding the acid is in the range of 0~20°C.
 - 10. The process of any one of claims 1-9, wherein the acid of formula HA is methanesulfonic acid.
- 20 11. An intermediate, represented by the following formula 4, for preparing acid salts of Gemifloxacin according to claim 1:

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wherein,

Me, R1 and R2 are as defined in claim 1.